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(84) 指定国 (表示のない限り、全ての種類の広域保護が可能): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), ユーラシア (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), ヨーロッパ (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) 発明者: および

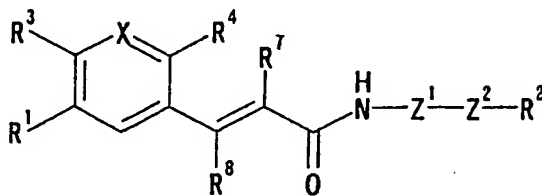
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添付公開書類:  
— 国際調査報告書

2文字コード及び他の略語については、定期発行される各PCTガゼットの巻頭に掲載されている「コードと略語のガイダンスノート」を参照。

(54) Title: ACRYLAMIDE DERIVATIVE, PROCESS FOR PRODUCING THE SAME, AND USE

(54) 発明の名称: アクリルアミド誘導体、その製造法および用途



(I)

(57) Abstract: A compound represented by the formula (I) [wherein R<sup>1</sup> represents a 5- or 6-membered ring; R<sup>3</sup> represents hydrogen, lower alkyl, or lower alkoxy; R<sup>7</sup> and R<sup>8</sup> each represents hydrogen or lower alkyl; Z<sup>1</sup> represents a 5- or 6-membered aromatic ring; Z<sup>2</sup> represents a group represented by Z<sup>2a</sup>-W<sup>1</sup>-Z<sup>2b</sup> (Z<sup>2a</sup> and Z<sup>2b</sup> each represents oxygen, S(O)<sub>m</sub> (m is 0, 1,

or 2), imino, or a bond and W<sup>1</sup> represents an alkylene chain); X represents CR (R represents hydrogen, lower alkyl, lower alkoxy, or acyl, provided that R may form a 5- or 6-membered alicyclic heterocyclic group in cooperation with the adjacent R<sup>4</sup>) or nitrogen; R<sup>4</sup> represents NR<sup>5</sup>R<sup>6</sup> (R<sup>5</sup> and R<sup>6</sup> each represents hydrogen, a hydrocarbon group, a heterocyclic group, or acyl, or R<sup>5</sup> is bonded to R<sup>6</sup> to form a heterocyclic group represented by NR<sup>5</sup>R<sup>6</sup>); and R<sup>2</sup> represents (1) amino in which the nitrogen atom may be in the form of a quaternary ammonium or oxide, (2) a nitrogenous heterocyclic group in which the ring-constituting atoms may include a sulfur or oxygen atom and the nitrogen atom may be in the form of a quaternary ammonium or oxide, etc.] or a salt of the compound. The compound has excellent antagonistic activity against CCR5 and is useful as a preventive/therapeutic agent for diseases caused by HIV infection in human peripheral blood mononuclear cells, especially for AIDS.

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WO 2004/069808 A1

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/001181

## A. CLASSIFICATION OF SUBJECT MATTER

Int.Cl<sup>7</sup> C07D233/64, 401/12, 401/14, 403/12, 491/20, A61K31/4164,  
31/4178, 31/4439, 41/454, 31/4709, 31/5377, 31/55, A61P9/00,  
9/10, 13/12, 29/00, 31/18, 37/02, 37/04, 37/06, 37/08, 43/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

Int.Cl<sup>7</sup> C07D233/64, 401/12, 401/14, 403/12, 491/20, A61K31/4164,  
31/4178, 31/4439, 41/454, 31/4709, 31/5377, 31/55, A61P9/00,  
9/10, 13/12, 29/00, 31/18, 37/02, 37/04, 37/06, 37/08, 43/00

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
STN/CAS

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99/32100 A2 (TAKEDA CHEMICAL INDUSTRIES, LTD.), 01 July, 1999 (01.07.99), & JP 2000-128782 A & EP 1039899 A & US 6268354 B1 & US 6376536 B1	1-31, 33
X	WO 00/68203 A1 (TAKEDA CHEMICAL INDUSTRIES, LTD.), 16 November, 2000 (16.11.00), & JP 2001-26586 A & EP 1182195 A	1-31, 33
X	WO 01/41808 A1 (TAKEDA CHEMICAL INDUSTRIES, LTD.), 14 June, 2001 (14.06.01), & JP 2001-302544 A & EP 1236476 A & US 2003/78189 A1	1-31, 33

☒ Further documents are listed in the continuation of Box C.☐ See patent family annex.

\* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone.

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&amp;" document member of the same patent family

Date of the actual completion of the international search  
08 April, 2004 (08.04.04)

Date of mailing of the international search report  
27 April, 2004 (27.04.04)

Name and mailing address of the ISA/  
Japanese Patent Office

Authorized officer

Facsimile No.

Telephone No.

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/001181

## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: 32

because they relate to subject matter not required to be searched by this Authority, namely:

The invention as set forth in claim 32 pertains to method for treatment of the human body by therapy

2. ☐ Claims Nos.:

because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. ☐ Claims Nos.:

because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐

The additional search fees were accompanied by the applicant's protest.

☐

No protest accompanied the payment of additional search fees.